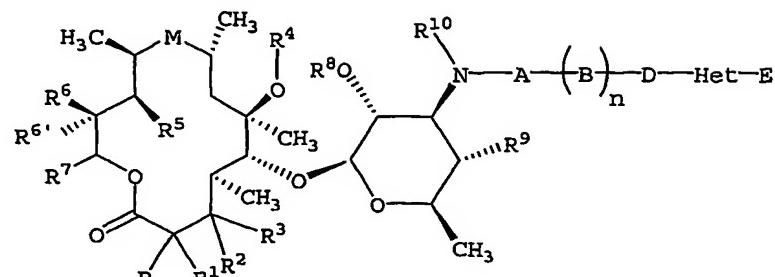


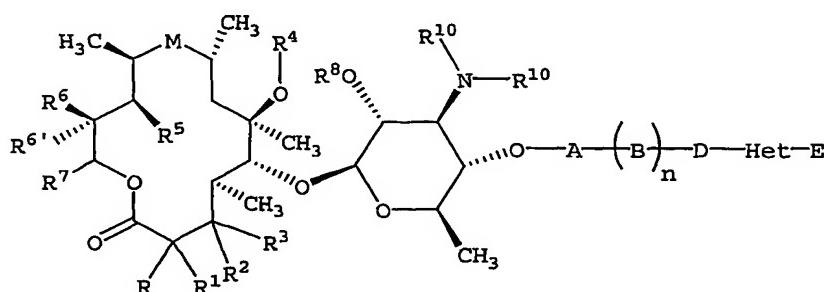
**WHAT IS CLAIMED IS:**

1 1. A compound having the formula:



2 ,

3 or

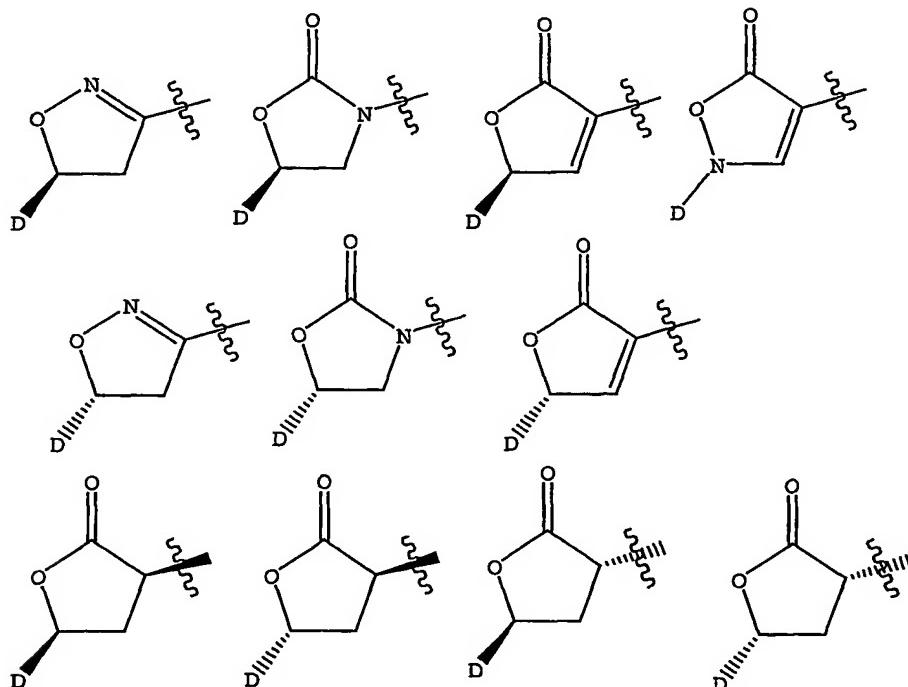


4 ,

5 or pharmaceutically acceptable salt, ester or prodrug thereof,

6 wherein:

7 D-Het is selected from the group consisting of:



8 ;

A is selected from the group consisting of:

- a) carbonyl, b) C<sub>1-6</sub> alkyl, c) C<sub>2-6</sub> alkenyl d) -C(O)-C<sub>1-6</sub> alkyl, and e) -C(O)-C<sub>2-6</sub> alkenyl,

wherein

- i) 0-2 carbon atoms of the C<sub>1-6</sub> alkyl and C<sub>2-6</sub> alkenyl groups in any of b) – e) optionally are replaced by a moiety selected from the group consisting of O, S(O)<sub>p</sub>, and NR<sup>11</sup>, and
  - ii) any of b) – e) optionally is substituted with one or more R<sup>12</sup> groups;

B is selected from the group consisting of:

- a)  $-\text{C}(\text{O})\text{NH}-$ , b)  $-\text{C}(\text{S})\text{NH}-$ , c)  $-\text{NHC}(\text{O})-$ , d)  $-\text{NHC}(\text{S})-$ , e)  $-\text{S}(\text{O})_2\text{NH}-$ ,  
f)  $-\text{NHS}(\text{O})_2-$ , g)  $-\text{OC}(\text{O})\text{NH}-$ , h)  $-\text{OC}(\text{S})\text{NH}-$ , i)  $-\text{NHC}(\text{O})\text{NH}-$ , j)  $-\text{NHC}(\text{S})\text{NH}-$ ,  
k)  $-\text{NHC}(\text{O})\text{O}-$ , l)  $-\text{NHC}(\text{S})\text{O}-$ , and m)  $-\text{NR}^{11}-$ ;

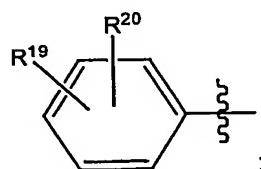
$n$  is 0 or 1;

D is selected from the group consisting of:

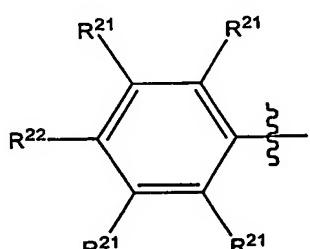
- a)  $-\text{CH}_2-$ , b)  $-\text{C}(\text{O})-$ , c)  $-\text{C}(\text{S})-$ , d)  $-\text{C}(=\text{NOR}^{11})-$ , e)  $-\text{CH}_2\text{CH}_2-$ , f)  $-\text{OCH}_2-$ ,  
 g)  $-\text{SCH}_2-$ , h)  $-\text{S}(\text{O})\text{CH}_2-$ , i)  $-\text{S}(\text{O})_2\text{CH}_2-$ , j)  $-\text{NR}^{11}\text{CH}_2-$ , k)  $-\text{C}(\text{O})\text{CH}_2-$ ,  
 l)  $-\text{C}(\text{S})\text{CH}_2-$ , and m)  $-\text{C}(=\text{NOR}^{11})\text{CH}_2-$ ;

E is selected from the group consisting of:

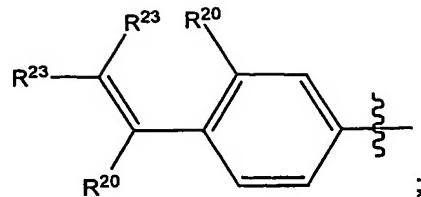
a)



b)



c)



- 34 d) 5-10 membered saturated, unsaturated, or aromatic heterocycle containing  
35 one or more heteroatoms selected from the group consisting of nitrogen, oxygen,  
36 and sulfur, and optionally substituted with one or more R<sup>12</sup> groups;
- 37 e) C<sub>5-10</sub> saturated, unsaturated, or aromatic carbocycle, optionally substituted  
38 with one or more R<sup>12</sup> groups;
- 39 f) C<sub>1-8</sub> alkyl,
- 40 g) C<sub>2-8</sub> alkenyl,
- 41 h) C<sub>2-8</sub> alkynyl,
- 42 i) C<sub>1-8</sub> alkoxy,
- 43 j) C<sub>1-8</sub> alkylthio,
- 44 k) C<sub>1-8</sub> acyl,
- 45 l) S(O)<sub>r</sub>R<sup>11</sup>; and
- 46 m) hydrogen,  
47 wherein any of f) – k) optionally is substituted with  
48       i) one or more R<sup>12</sup> groups;  
49       ii) 5-6 membered saturated, unsaturated, or aromatic  
50           heterocycle containing one or more heteroatoms selected from the  
51           group consisting of nitrogen, oxygen, and sulfur, and optionally  
52           substituted with one or more R<sup>12</sup> groups; or  
53       iii) C<sub>5-10</sub> saturated, unsaturated, or aromatic carbocycle,  
54           optionally substituted with one or more R<sup>12</sup> groups;

55 M is selected from the group consisting of:

- 56 a) -C(O)-, b) -C(=NOR<sup>11</sup>)-, c) -CH(-OR<sup>11</sup>)-, d) -NR<sup>11</sup>-CH<sub>2</sub>- , e) -CH<sub>2</sub>-NR<sup>11</sup>-,  
57 f) -CH(NR<sup>11</sup>R<sup>11</sup>)-, g) -C(=NNR<sup>11</sup>R<sup>11</sup>)- , h) -NR<sup>11</sup>-C(O)-, i) -C(O)NR<sup>11</sup>- , and  
58 j) -C(=NR<sup>11</sup>)-;

59 R is selected from the group consisting of H and C<sub>1-6</sub> alkyl;

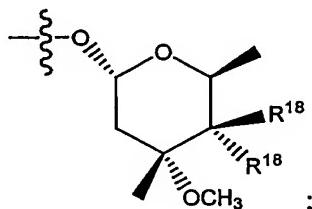
60 R<sup>1</sup> is selected from the group consisting of:

61           a) H, b) Cl, c) F, d) Br, e) I, f)  $-NR^{11}R^{11}$  g)  $-NR^{11}C(O)R^{11}$ , h)  $-OR^{11}$ ,  
 62           i)  $-OC(O)R^{11}$ , j)  $-OC(O)OR^{11}$ , k)  $-OC(O)NR^{11}R^{11}$ , l)  $-O-C_{1-6}$  alkyl- $R^{12}$ ,  
 63           m)  $-OC(O)-C_{1-6}$  alkyl- $R^{12}$ , n)  $-OC(O)O-C_{1-6}$  alkyl- $R^{12}$ ,  
 64           o)  $-OC(O)NR^{11}-C_{1-6}$  alkyl- $R^{12}$ , p)  $C_{1-6}$  alkyl, q)  $C_{1-6}$  alkenyl, r)  $C_{1-6}$  alkynyl,  
 65           wherein any of l) – r) optionally is substituted with one or more  $R^{12}$   
 66           groups;

67            $R^2$  is H;

68            $R^3$  is selected from the group consisting of:

69           a) H, b)  $-OR^{11}$ , c)  $-O-C_{1-6}$  alkyl- $R^{12}$ , d)  $-OC(O)R^{11}$ , e)  $-OC(O)-C_{1-6}$  alkyl- $R^{12}$ ,  
 70           f)  $-OC(O)OR^{11}$ , g)  $-OC(O)O-C_{1-6}$  alkyl- $R^{12}$ , h)  $-OC(O)NR^{11}R^{11}$ ,  
 71           i)  $-OC(O)NR^{11}-C_{1-6}$  alkyl- $R^{12}$ , and  
 72           j)



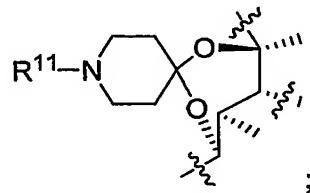
73           ;

74           alternatively,  $R^2$  and  $R^3$  taken together form a carbonyl group;

75            $R^4$  is selected from the group consisting of:

76           a) H, b)  $R^{11}$ , c)  $-C(O)R^{11}$  d)  $-C(O)OR^{11}$  e)  $-C(O)NR^{11}R^{11}$ , f)  $-C_{1-6}$  alkyl- $G-R^{11}$ ,  
 77           g)  $-C_{2-6}$  alkenyl- $G-R^{11}$ , and h)  $-C_{2-6}$  alkynyl- $G-R^{11}$ ;

78           alternatively  $R^3$  and  $R^4$ , taken together with the atoms to which they are bonded, form:



79           ;

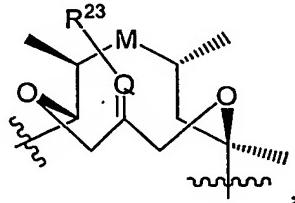
80            $G$  is selected from the group consisting of:

81           a)  $-C(O)-$ , b)  $-C(O)O-$ , c)  $-C(O)NR^{11}-$ , d)  $-C(=NR^{11})-$ , e)  $-C(=NR^{11})O-$ ,  
 82           f)  $-C(=NR^{11})NR^{11}-$ , g)  $-OC(O)-$ , h)  $-OC(O)O-$ , i)  $-OC(O)NR^{11}-$ , j)  $-NR^{11}C(O)-$ ,  
 83           k)  $-NR^{11}C(O)O-$ , l)  $-NR^{11}C(O)NR^{11}-$ , m)  $-NR^{11}C(=NR^{11})NR^{11}-$ , and o)  $-S(O)_p-$ ;

84            $R^5$  is selected from the group consisting of:

- 85           a)  $R^{11}$ , b)  $-OR^{11}$ , c)  $-NR^{11}R^{11}$ , d)  $-O-C_{1-6}$  alkyl- $R^{12}$ , e)  $-C(O)-R^{11}$ ,  
 86           f)  $-C(O)-C_{1-6}$  alkyl- $R^{12}$ , g)  $-OC(O)-R^{11}$ , h)  $-OC(O)-C_{1-6}$  alkyl- $R^{12}$ ,  
 87           i)  $-OC(O)O-R^{11}$ , j)  $-OC(O)O-C_{1-6}$  alkyl- $R^{12}$ , k)  $-OC(O)NR^{11}R^{11}$ ,  
 88           l)  $-OC(O)NR^{11}-C_{1-6}$  alkyl- $R^{12}$ , m)  $-C(O)-C_{2-6}$  alkenyl- $R^{12}$ , and  
 89           n)  $-C(O)-C_{2-6}$  alkynyl- $R^{12}$ ;

90           alternatively,  $R^4$  and  $R^5$ , taken together with the atoms to which they are bonded, form:



91

92           wherein

- 93           Q is CH or N, and  
 94            $R^{23}$  is  $-OR^{11}$ , or  $R^{11}$ ;

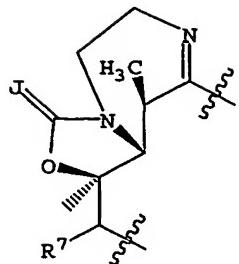
95            $R^6$  is selected from the group consisting of:

- 96           a)  $-OR^{11}$ , b)  $-C_{1-6}$  alkoxy- $R^{12}$ , c)  $-C(O)R^{11}$ , d)  $-OC(O)R^{11}$ , e)  $-OC(O)OR^{11}$ ,  
 97           f)  $-OC(O)NR^{11}R^{11}$ , and g)  $-NR^{11}R^{11}$ ;

98           alternatively,  $R^5$  and  $R^6$  taken together with the atoms to which they are attached form a  
 99        5-membered ring by attachment to each other through a linker selected from the group consisting  
 100      of:

- 101           a)  $-OC(R^{12})_2O-$ , b)  $-OC(O)O-$ , c)  $-OC(O)NR^{11}-$ , d)  $-NR^{11}C(O)O-$ ,  
 102           e)  $-OC(O)NOR^{11}-$ , f)  $-NOR^{11}-C(O)O-$ , g)  $-OC(O)NNR^{11}R^{11}-$ ,  
 103           h)  $-NNR^{11}R^{11}-C(O)O-$ , i)  $-OC(O)C(R^{12})_2-$ , j)  $-C(R^{12})_2C(O)O-$ , k)  $-OC(S)O-$ ,  
 104           l)  $-OC(S)NR^{11}-$ , m)  $-NR^{11}C(S)O-$ , n)  $-OC(S)NOR^{11}-$ , o)  $-NOR^{11}-C(S)O-$ ,  
 105           p)  $-OC(S)NNR^{11}R^{11}-$ , q)  $-NNR^{11}R^{11}-C(S)O-$ , r)  $-OC(S)C(R^{12})_2-$ , and  
 106           s)  $-C(R^{12})_2C(S)O-$ ;

107           alternatively, M,  $R^5$ , and  $R^6$  taken together with the atoms to which they are attached  
 108      form:



109

110 wherein J is selected from the group consisting of O and NR<sup>11</sup>;

111 R6' is selected from the group consisting of

112 a) -H, b) -C<sub>1-4</sub> alkyl, c) C<sub>2-4</sub> alkenyl, which can be further substituted with C<sub>1-12</sub>  
113 alkyl or one or more halogens, d) C<sub>2-4</sub> alkynyl, which can be further substituted  
114 with C<sub>1-12</sub> alkyl or one or more halogens, e) aryl or heteroaryl, which can be  
115 further substituted with C<sub>1-12</sub> alkyl or one or more halogens, f) -C(O)H, g) -  
116 COOH, h) -CN, i) -COOR<sup>11</sup>, j) -C(O)NR<sup>11</sup>R<sup>11</sup>, k) -C(O)R<sup>11</sup>, and l) -C(O)SR<sup>11</sup>,  
117 wherein b) is further substituted with one or more substituents selected from the  
118 group consisting of aa) -OR<sup>11</sup>, bb) halogen, cc) -SR<sup>11</sup>, dd) C<sub>1-12</sub> alkyl, which can  
119 be further substituted with halogen, hydroxyl, C<sub>1-6</sub> alkoxy, or amino, ee) -OR<sup>11</sup>,  
120 ff) -SR<sup>11</sup>, gg) -NR<sup>11</sup>R<sup>11</sup>, hh) -CN, ii) -NO<sub>2</sub>, jj) -NC(O)R<sup>11</sup>, kk) -COOR<sup>11</sup>, ll) -N<sub>3</sub>,  
121 mm) =N-O-R<sup>11</sup>, nn) =NR<sup>11</sup>, oo) =N-NR<sup>11</sup>R<sup>11</sup>, pp) =N-NH-C(O)R<sup>11</sup>, and qq) =N-  
122 NH-C(O)NR<sup>11</sup>R<sup>11</sup>;

123 alternatively R6 and R6' are taken together with the atom to which they are attached to  
124 form an epoxide, a carbonyl, an olefin, or a substituted olefin, or a C<sub>3</sub>-C<sub>7</sub> carbocyclic, carbonate,  
125 or carbamate, wherein the nitrogen of said carbamate can be further substituted with a C<sub>1</sub>-C<sub>6</sub>  
126 alkyl;

127 R<sup>7</sup> is selected from the group consisting of:

128 a) C<sub>1-6</sub> alkyl, b) C<sub>2-6</sub> alkenyl, and c) C<sub>2-6</sub> alkynyl,  
129 wherein any of a) – c) optionally is substituted with one or more R<sup>12</sup>  
130 groups;

131 R<sup>8</sup> is selected from the group consisting of H and -C(O)R<sup>11</sup>;

132 R<sup>9</sup> is selected from the group consisting of H, OH, and OR<sup>11</sup>;

133 R<sup>10</sup> is selected from the group consisting of:

134 a) H, b) R<sup>11</sup>, c) -C<sub>1-6</sub> alkyl-G-R<sup>12</sup>, d) -C<sub>2-6</sub> alkenyl-G-R<sup>12</sup>, and  
135 e) -C<sub>2-6</sub> alkynyl-G-R<sup>12</sup>,

136 wherein the C<sub>1-6</sub>-alkyl, C<sub>2-6</sub> alkenyl, and C<sub>2-6</sub> alkynyl group in any of  
137 c) - e) optionally is substituted with one or more R<sup>12</sup> groups;

138 R<sup>11</sup>, at each occurrence, independently is selected from the group consisting of:

139 a) H, b) C<sub>1-6</sub> alkyl, c) C<sub>2-6</sub> alkenyl, d) C<sub>2-6</sub> alkynyl, e) C<sub>6-10</sub> saturated, unsaturated,  
140 or aromatic carbocycle, f) 3-12 membered saturated, unsaturated, or aromatic  
141 heterocycle containing one or more heteroatoms selected from the group  
142 consisting of nitrogen, oxygen, and sulfur, g) -C(O)-C<sub>1-6</sub> alkyl,  
143 h) -C(O)-C<sub>2-6</sub> alkenyl, i) -C(O)-C<sub>2-6</sub> alkynyl, j) -C(O)-C<sub>6-10</sub> saturated, unsaturated,  
144 or aromatic carbocycle, k) -C(O)-3-12 membered saturated, unsaturated, or  
145 aromatic heterocycle containing one or more heteroatoms selected from the group  
146 consisting of nitrogen, oxygen, sulfur, l) -C(O)O-C<sub>1-6</sub> alkyl,  
147 m) -C(O)O-C<sub>2-6</sub> alkenyl, n) -C(O)O-C<sub>2-6</sub> alkynyl, o) -C(O)O-C<sub>6-10</sub> saturated,  
148 unsaturated, or aromatic carbocycle, p) -C(O)O-3-12 membered saturated,  
149 unsaturated, or aromatic heterocycle containing one or more heteroatoms selected  
150 from the group consisting of nitrogen, oxygen, and sulfur, and q) –  
151 C(O)NR<sup>13</sup>R<sup>13</sup>,

152 wherein any of b) – p) optionally is substituted with one or more R<sup>12</sup>  
153 groups,

154 alternatively, NR<sup>11</sup>R<sup>11</sup> forms a 3-7 membered saturated, unsaturated or aromatic ring  
155 including the nitrogen atom to which the R<sup>11</sup> groups are bonded and optionally one or more  
156 moieties selected from the group consisting of: O, S(O)<sub>p</sub>, and NR<sup>15</sup>;

157 R<sup>12</sup> is selected from the group consisting of:

158 a) R<sup>14</sup>, b) C<sub>1-8</sub> alkyl, c) C<sub>2-8</sub> alkenyl, d) C<sub>2-8</sub> alkynyl, e) C<sub>3-12</sub> saturated,  
159 unsaturated, or aromatic carbocycle, f) 3-12 membered saturated, unsaturated, or  
160 aromatic heterocycle containing one or more heteroatoms selected from the group  
161 consisting of nitrogen, oxygen, and sulfur, and g) -NR<sup>15</sup>C(O)OR<sup>15</sup>,

162 wherein any of b) – f) optionally is substituted with one or more R<sup>14</sup>  
163 groups;

164 R<sup>13</sup>, at each occurrence, independently is selected from the group consisting of:

165 a) H, b) C<sub>1-6</sub> alkyl, c) C<sub>2-6</sub> alkenyl, d) C<sub>2-6</sub> alkynyl, e) C<sub>3-10</sub> saturated, unsaturated,  
166 or aromatic carbocycle, and f) 3-10 membered saturated, unsaturated, or aromatic

167                   heterocycle containing one or more heteroatoms selected from the group  
 168                   consisting of nitrogen, oxygen, and sulfur,  
 169                   wherein any of b) – f) optionally is substituted with one or more moieties selected from the  
 170                   group consisting of:

171                   carbonyl; formyl; F; Cl; Br; I; CN; NO<sub>2</sub>; OR<sup>15</sup>; –S(O)<sub>p</sub>R<sup>15</sup>;  
 172                   –C(O)R<sup>15</sup>; –C(O)OR<sup>15</sup>; –OC(O)R<sup>15</sup>; –C(O)NR<sup>15</sup>R<sup>15</sup>;  
 173                   –OC(O)NR<sup>15</sup>R<sup>15</sup>; –C(=NR<sup>15</sup>)R<sup>15</sup>; –C(R<sup>15</sup>)(R<sup>15</sup>)OR<sup>15</sup>;  
 174                   –C(R<sup>15</sup>)<sub>2</sub>OC(O)R<sup>15</sup>; –C(R<sup>15</sup>)(OR<sup>15</sup>)(CH<sub>2</sub>)<sub>n</sub>NR<sup>15</sup>R<sup>15</sup>; –NR<sup>15</sup>R<sup>15</sup>;  
 175                   –NR<sup>15</sup>OR<sup>15</sup>; –NR<sup>15</sup>C(O)R<sup>15</sup>; –NR<sup>15</sup>C(O)OR<sup>15</sup>; –NR<sup>15</sup>C(O)NR<sup>15</sup>R<sup>15</sup>;  
 176                   –NR<sup>15</sup>S(O)<sub>p</sub>R<sup>15</sup>; –C(OR<sup>15</sup>)(OR<sup>15</sup>)R<sup>15</sup>; –C(R<sup>15</sup>)<sub>2</sub>NR<sup>15</sup>R<sup>15</sup>; =NR<sup>15</sup>;  
 177                   –C(S)NR<sup>15</sup>R<sup>15</sup>; –NR<sup>15</sup>C(S)R<sup>15</sup>; –OC(S)NR<sup>15</sup>R<sup>15</sup>; –NR<sup>15</sup>C(S)OR<sup>15</sup>;  
 178                   –NR<sup>15</sup>C(S)NR<sup>15</sup>R<sup>15</sup>; –SC(O)R<sup>15</sup>; C<sub>1-8</sub> alkyl, C<sub>2-8</sub> alkenyl;  
 179                   C<sub>2-8</sub> alkynyl; C<sub>1-8</sub> alkoxy; C<sub>1-8</sub> alkylthio; C<sub>1-8</sub> acyl; saturated,  
 180                   unsaturated, or aromatic C<sub>3-10</sub> carbocycle; and saturated,  
 181                   unsaturated, or aromatic 3-10 membered heterocycle containing  
 182                   one or more heteroatoms selected from the group consisting of  
 183                   nitrogen, oxygen, and sulfur,

184                   alternatively, NR<sup>13</sup>R<sup>13</sup> forms a 3-10 membered saturated, unsaturated or aromatic ring  
 185                   including the nitrogen atom to which the R<sup>13</sup> groups are attached and optionally one or more  
 186                   moieties selected from the group consisting of O, S(O)<sub>p</sub>, NR<sup>15</sup>, and N;

187                   alternatively, CR<sup>13</sup>R<sup>13</sup> forms a carbonyl group;

188                   R<sup>14</sup>, at each occurrence, is selected from the group consisting of:

189                   a) H, b) carbonyl, c) F, d) Cl, e) Br, f) I, g) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>CF<sub>3</sub>, h) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>CN,  
 190                   i) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>NO<sub>2</sub>, j) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>NR<sup>13</sup>(CR<sup>13</sup>R<sup>13</sup>)<sub>t</sub>R<sup>16</sup>, k) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>OR<sup>16</sup>,  
 191                   l) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>S(O)<sub>p</sub>(CR<sup>13</sup>R<sup>13</sup>)<sub>t</sub>R<sup>16</sup>, m) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>C(O)(CR<sup>13</sup>R<sup>13</sup>)<sub>t</sub>R<sup>16</sup>,  
 192                   n) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>OC(O)(CR<sup>13</sup>R<sup>13</sup>)<sub>t</sub>R<sup>16</sup>, o) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>SC(O)(CR<sup>13</sup>R<sup>13</sup>)<sub>t</sub>R<sup>16</sup>,  
 193                   p) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>C(O)O(CR<sup>13</sup>R<sup>13</sup>)<sub>t</sub>R<sup>16</sup>, q) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>NR<sup>13</sup>C(O)(CR<sup>13</sup>R<sup>13</sup>)<sub>t</sub>R<sup>16</sup>,  
 194                   r) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>C(O)NR<sup>13</sup>(CR<sup>13</sup>R<sup>13</sup>)<sub>t</sub>R<sup>16</sup>, s) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>C(=NR<sup>13</sup>)(CR<sup>13</sup>R<sup>13</sup>)<sub>t</sub>R<sup>16</sup>,  
 195                   t) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>C(=NNR<sup>13</sup>R<sup>13</sup>)(CR<sup>13</sup>R<sup>13</sup>)<sub>t</sub>R<sup>16</sup>,

- 196                   u)  $(CR^{13}R^{13})_rC(=NNR^{13}C(O)R^{13})(CR^{13}R^{13})_tR^{16}$ ,
- 197                   v)  $(CR^{13}R^{13})_rC(=NOR^{16})(CR^{13}R^{13})_tR^{16}$ ,
- 198                   w)  $(CR^{13}R^{13})_rNR^{13}C(O)O(CR^{13}R^{13})_tR^{16}$ ,
- 199                   x)  $(CR^{13}R^{13})_rOC(O)N R^{13}(CR^{13}R^{13})_tR^{16}$ ,
- 200                   y)  $(CR^{13}R^{13})_rNR^{13}C(O)NR^{13}(CR^{13}R^{13})_tR^{16}$ ,
- 201                   z)  $(CR^{13}R^{13})_rNR^{13}S(O)p(CR^{13}R^{13})_tR^{16}$ , aa)  $(CR^{13}R^{13})_rS(O)pNR^{13}(CR^{13}R^{13})_tR^{16}$ ,
- 202                   bb)  $(CR^{13}R^{13})_rNR^{13}S(O)pNR^{13}(CR^{13}R^{13})_tR^{16}$ , cc)  $(CR^{13}R^{13})_rNR^{13}R^{13}$ ,
- 203                   dd) C<sub>1-6</sub> alkyl, ee) C<sub>2-6</sub> alkenyl, ff) C<sub>2-6</sub> alkynyl, gg)  $(CR^{13}R^{13})_r-C_{3-10}$  saturated,
- 204                   unsaturated, or aromatic carbocycle, and hh)  $(CR^{13}R^{13})_r-3-10$  membered
- 205                   saturated, unsaturated, or aromatic heterocycle containing one or more
- 206                   heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,
- 207                   wherein any of dd) – hh) optionally is substituted with one or more R<sup>16</sup>
- 208                   groups;
- 209                   alternatively, two R<sup>14</sup> groups may form -O(CH<sub>2</sub>)<sub>s</sub>O-;
- 210                   R<sup>15</sup> is selected from the group consisting of:
- 211                   a) H, b) C<sub>1-6</sub> alkyl, c) C<sub>2-6</sub> alkenyl, d) C<sub>2-6</sub> alkynyl, e) C<sub>3-10</sub> saturated,
- 212                   unsaturated, or aromatic carbocycle, f) 3-10 membered saturated, unsaturated, or
- 213                   aromatic heterocycle containing one or more heteroatoms selected from the group
- 214                   consisting of nitrogen, oxygen, and sulfur, g) -C(O)-C<sub>1-6</sub> alkyl,
- 215                   h) -C(O)-C<sub>1-6</sub> alkenyl, g) -C(O)-C<sub>1-6</sub> alkynyl, i) -C(O)-C<sub>3-10</sub> saturated,
- 216                   unsaturated, or aromatic carbocycle, and j) -C(O)-3-10 membered saturated,
- 217                   unsaturated, or aromatic heterocycle containing one or more heteroatoms selected
- 218                   from the group consisting of nitrogen, oxygen, and sulfur,
- 219                   wherein any of b) – j) optionally is substituted with one or more moieties
- 220                   selected from the group consisting of H; F; Cl; Br; I; CN; NO<sub>2</sub>; OH; NH<sub>2</sub>;
- 221                   NH(C<sub>1-6</sub> alkyl); N(C<sub>1-6</sub> alkyl)<sub>2</sub>; C<sub>1-6</sub> alkoxy; aryl; substituted aryl;
- 222                   heteroaryl; substituted heteroaryl; and C<sub>1-6</sub> alkyl, optionally substituted
- 223                   with one or more moieties selected from the group consisting of aryl,
- 224                   substituted aryl, heteroaryl, substituted heteroaryl, F, Cl, Br, I, CN, NO<sub>2</sub>,
- 225                   and OH;

226        R<sup>16</sup>, at each occurrence, independently is selected from the group consisting of:  
227            a) R<sup>17</sup>, b) C<sub>1-6</sub> alkyl, c) C<sub>2-6</sub> alkenyl, d) C<sub>2-6</sub> alkynyl, e) -C<sub>3-10</sub> saturated,  
228            unsaturated, or aromatic carbocycle, and f) -3-10 membered saturated,  
229            unsaturated, or aromatic heterocycle containing one or more heteroatoms selected  
230            from the group consisting of nitrogen, oxygen, and sulfur,  
231            wherein any of b) – f) optionally is substituted with one or more R<sup>17</sup>  
232            groups;

233        R<sup>17</sup>, at each occurrence, independently is selected from the group consisting of:

234            a) H, b) carbonyl, c) F, d) Cl, e) Br, f) I, g) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>CF<sub>3</sub>, h) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>CN,  
235            i) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>NO<sub>2</sub>, j) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>(CR<sup>13</sup>R<sup>13</sup>), k) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>OR<sup>11</sup>,  
236            l) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>S(O)pR<sup>13</sup>, m) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>C(O)R<sup>13</sup>, n) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>C(O)OR<sup>13</sup>,  
237            o) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>OC(O)R<sup>13</sup>, p) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>NR<sup>13</sup>C(O)R<sup>13</sup>,  
238            q) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>C(O)NR<sup>13</sup>R<sup>13</sup>, r) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>C(=NR<sup>13</sup>)R<sup>13</sup>,  
239            s) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>NR<sup>13</sup>C(O)NR<sup>13</sup>R<sup>13</sup>, t) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>NR<sup>13</sup>S(O)pR<sup>13</sup>,  
240            u) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>S(O)pNR<sup>13</sup>R<sup>13</sup>, v) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>NR<sup>13</sup>S(O)pNR<sup>13</sup>R<sup>13</sup>, w) C<sub>1-6</sub> alkyl,  
241            x) C<sub>2-6</sub> alkenyl, y) C<sub>2-6</sub> alkynyl, z) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>-C<sub>3-10</sub> saturated, unsaturated, or  
242            aromatic carbocycle, and aa) (CR<sup>13</sup>R<sup>13</sup>)<sub>r</sub>-3-10 membered saturated, unsaturated,  
243            or aromatic heterocycle containing one or more heteroatoms selected from the  
244            group consisting of nitrogen, oxygen, and sulfur,

245            wherein any of w) – aa) optionally is substituted with one or more  
246            moieties selected from the group consisting of R<sup>13</sup>; F; Cl; Br; I; CN; NO<sub>2</sub>;  
247            -OR<sup>13</sup>; -NH<sub>2</sub>; -NH(C<sub>1-6</sub> alkyl); -N(C<sub>1-6</sub> alkyl)<sub>2</sub>; C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> alkylthio;  
248            and C<sub>1-6</sub> acyl;

249        R<sup>18</sup>, at each occurrence, independently is selected from the group consisting of:

250            a) H, b) OR<sup>15</sup>, c) -O-C<sub>1-6</sub> alkyl-OC(O)R<sup>15</sup>, d) -O-C<sub>1-6</sub> alkyl-OC(O)OR<sup>15</sup>,  
251            e) -O-C<sub>1-6</sub> alkyl-OC(O)NR<sup>15</sup>R<sup>15</sup>, f) -O-C<sub>1-6</sub> alkyl-C(O)NR<sup>15</sup>R<sup>15</sup>,  
252            g) -O-C<sub>1-6</sub> alkyl-NR<sup>15</sup>C(O)R<sup>15</sup>, h) -O-C<sub>1-6</sub> alkyl-NR<sup>15</sup>C(O)OR<sup>15</sup>,  
253            i) -O-C<sub>1-6</sub> alkyl-NR<sup>15</sup>C(O)NR<sup>15</sup>R<sup>15</sup>, j) -O-C<sub>1-6</sub> alkyl-NR<sup>15</sup>C(=NH)NR<sup>15</sup>R<sup>15</sup>,  
254            k) -O-C<sub>1-6</sub> alkyl-S(O)pR<sup>15</sup>, l) -O-C<sub>2-6</sub> alkenyl-OC(O)R<sup>15</sup>,  
255            m) -O-C<sub>2-6</sub> alkenyl-OC(O)OR<sup>15</sup>, n) -O-C<sub>2-6</sub> alkenyl-OC(O)NR<sup>15</sup>R<sup>15</sup>,

256                   o) -O-C<sub>2-6</sub> alkenyl-C(O)NR<sup>15</sup>R<sup>15</sup>, p) -O-C<sub>2-6</sub> alkenyl-NR<sup>15</sup>C(O)R<sup>15</sup>,  
257                   q) -O-C<sub>2-6</sub> alkenyl-NR<sup>15</sup>C(O)OR<sup>15</sup>, r) -O-C<sub>2-6</sub> alkenyl-NR<sup>15</sup>C(O)NR<sup>15</sup>R<sup>15</sup>,  
258                   s) -O-C<sub>2-6</sub> alkenyl-NR<sup>15</sup>C(=NH)NR<sup>15</sup>R<sup>15</sup>, t) -O-C<sub>2-6</sub> alkenyl-S(O)<sub>p</sub>R<sup>15</sup>,  
259                   u) -O-C<sub>2-6</sub> alkynyl-OC(O)R<sup>15</sup>, v) -O-C<sub>2-6</sub> alkynyl-OC(O)OR<sup>15</sup>,  
260                   w) -O-C<sub>2-6</sub> alkynyl-OC(O)NR<sup>15</sup>R<sup>15</sup>, x) -O-C<sub>2-6</sub> alkynyl-C(O)NR<sup>15</sup>R<sup>15</sup>,  
261                   y) -O-C<sub>2-6</sub> alkynyl-NR<sup>15</sup>C(O)R<sup>15</sup>, z) -O-C<sub>2-6</sub> alkynyl-NR<sup>15</sup>C(O)OR<sup>15</sup>,  
262                   aa) -O-C<sub>2-6</sub> alkynyl-NR<sup>15</sup>C(O)NR<sup>15</sup>R<sup>15</sup>,  
263                   bb) -O-C<sub>2-6</sub> alkynyl-NR<sup>15</sup>C(=NH)NR<sup>15</sup>R<sup>15</sup>, cc) -O-C<sub>2-6</sub> alkynyl-S(O)<sub>p</sub>R<sup>15</sup>; and  
264                   dd) -NR<sup>15</sup>R<sup>15</sup>;  
265                   alternatively, two R<sup>18</sup> groups taken together form =O, =NOR<sup>15</sup>, or =NNR<sup>15</sup>R<sup>15</sup>;  
266                   R<sup>19</sup> is R<sup>12</sup>;

267                   R<sup>20</sup> is selected from the group consisting of:

268                   a) R<sup>13</sup>, b) F, c) Cl, d) Br, e) I, f) CN, g) NO<sub>2</sub>, and h) -OR<sup>11</sup>;

269                   alternatively, R<sup>19</sup> and R<sup>20</sup> taken together are -O(CH<sub>2</sub>)<sub>r</sub>O-;

270                   R<sup>21</sup>, at each occurrence, independently is selected from the group consisting of:

271                   a) H, b) F, c) Cl, d) Br, e) I, f) CN, g) -OR<sup>11</sup>, h) NO<sub>2</sub>, i) -NR<sup>11</sup>R<sup>11</sup>, j) C<sub>1-6</sub> alkyl,  
272                   k) C<sub>1-6</sub> acyl, and l) C<sub>1-6</sub> alkoxy;

273                   R<sup>22</sup> is selected from the group consisting of:

274                   a) C<sub>1-6</sub> alkyl, b) C<sub>2-6</sub> alkenyl, c) C<sub>2-6</sub> alkynyl, d) C<sub>1-6</sub> acyl, e) C<sub>1-6</sub> alkoxy,  
275                   f) C<sub>1-6</sub> alkylthio, g) saturated, unsaturated, or aromatic C<sub>5-10</sub> carbocycle,  
276                   h) saturated, unsaturated, or aromatic 5-10 membered heterocycle containing one  
277                   or more heteroatoms selected from the group consisting of nitrogen, oxygen, and  
278                   sulfur, i) -O-C<sub>1-6</sub> alkyl-saturated, unsaturated, or aromatic 5-10 membered  
279                   heterocycle containing one or more heteroatoms selected from the group  
280                   consisting of nitrogen, oxygen, and sulfur, j) -NR<sup>11</sup>-C<sub>1-6</sub> alkyl-saturated,  
281                   unsaturated, or aromatic 5-10 membered heterocycle containing one or more  
282                   heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,  
283                   k) saturated, unsaturated, or aromatic 10-membered bicyclic ring system  
284                   optionally containing one or more heteroatoms selected from the group consisting  
285                   of nitrogen, oxygen, and sulfur, l) saturated, unsaturated, or aromatic 13-  
286                   membered tricyclic ring system optionally containing one or more heteroatoms

287           selected from the group consisting of nitrogen, oxygen, and sulfur, m) -OR<sup>11</sup>,  
288           n) -NR<sup>11</sup>R<sup>11</sup>, o) S(O)<sub>r</sub>R<sup>11</sup>, and p) R<sup>21</sup>,

289                 wherein any of a) - l) optionally is substituted with one or more R<sup>12</sup>  
290                 groups;

291           alternatively, R<sup>22</sup> and one R<sup>21</sup> group, taken together with the atoms to which they are  
292           bonded, form a 5-7 membered saturated or unsaturated carbocycle, optionally substituted with  
293           one or more R<sup>12</sup> groups; or a 5-7 membered saturated or unsaturated heterocycle containing one  
294           or more atoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally  
295           substituted with one or more R<sup>12</sup> groups;

296           R<sup>23</sup> at each occurrence, independently is selected from the group consisting of:  
297                 a) hydrogen; b) an electron-withdrawing group; c) aryl; d) substituted aryl;  
298                 e) heteroaryl; f) substituted heteroaryl; and g) C<sub>1-6</sub> alkyl, optionally substituted  
299                 with one or more R<sup>12</sup> groups;

300           alternatively, any R<sup>23</sup> and any R<sup>20</sup>, taken together with the atoms to which they are  
301           bonded, form a 5-7 membered saturated or unsaturated carbocycle, optionally substituted with  
302           one or more R<sup>12</sup> groups; or a 5-7 membered saturated or unsaturated heterocycle containing one  
303           or more atoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally  
304           substituted with one or more R<sup>12</sup> groups;

305           p, at each occurrence, is selected from the group consisting of 0, 1, and 2;

306           r, at each occurrence, is selected from the group consisting of 0, 1, and 2;

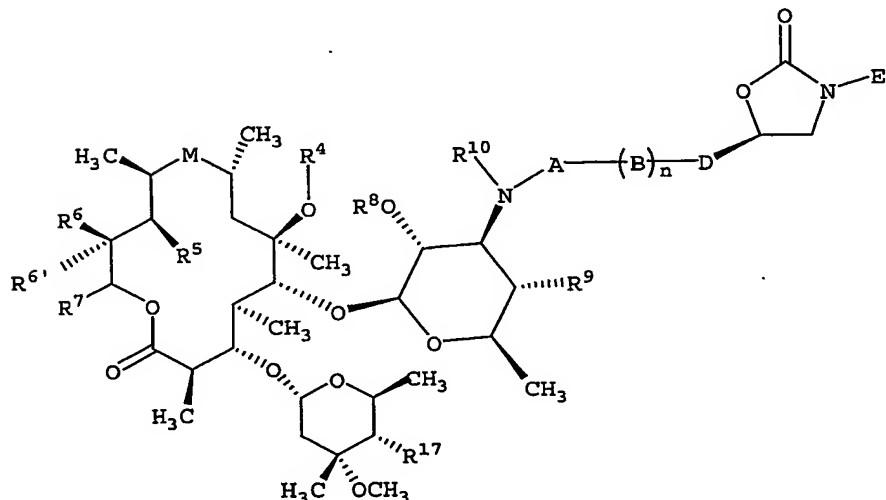
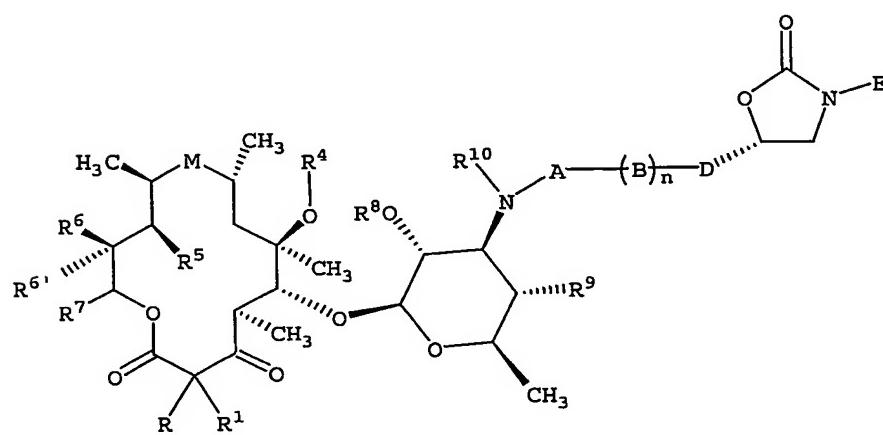
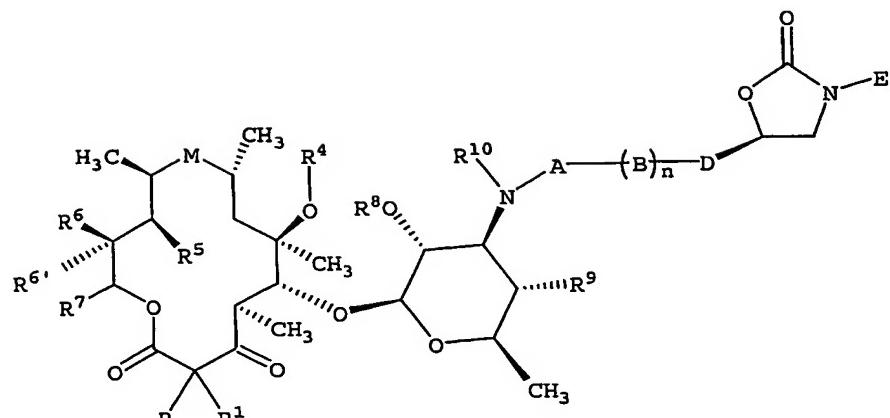
307           s, at each occurrence, is selected from the group consisting of 1, 2, 3, or 4;

308           t, at each occurrence, is selected from the group consisting of 0, 1, or 2;

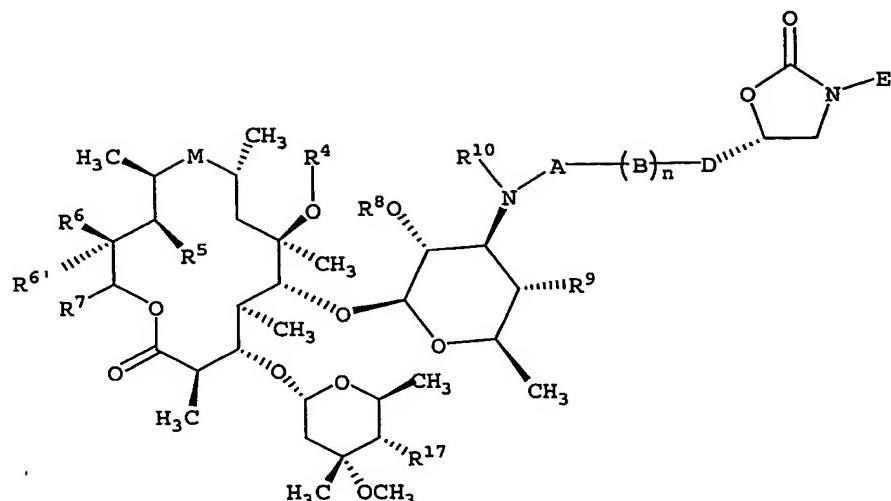
309           u, at each occurrence, is selected from the group consisting of 1, 2, 3, 4, or 5; and,

310           v, at each occurrence, is selected from the group consisting of 0, 1, 2, or 3.

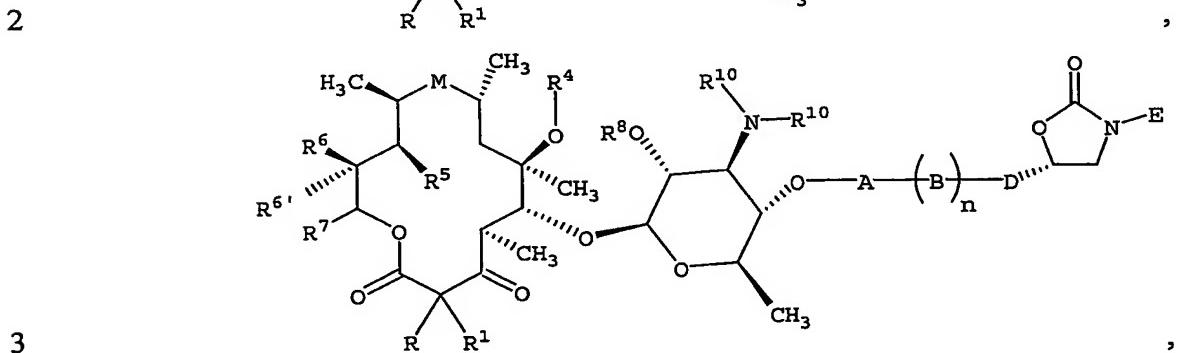
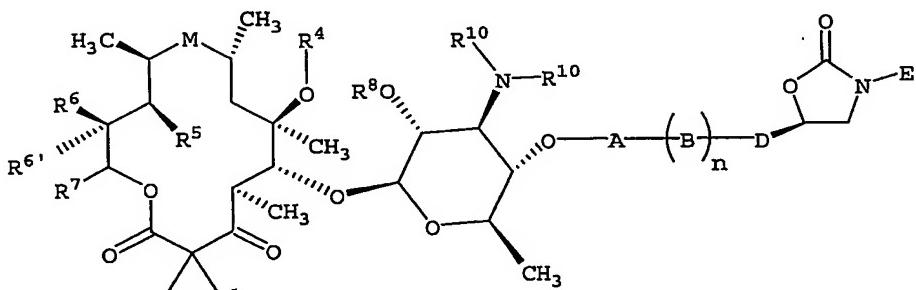
1       2.      A compound having the formula selected from the group consisting of:

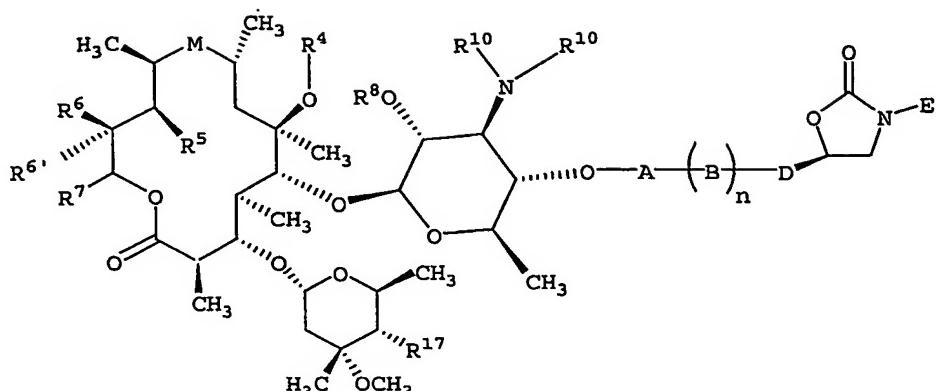


, and

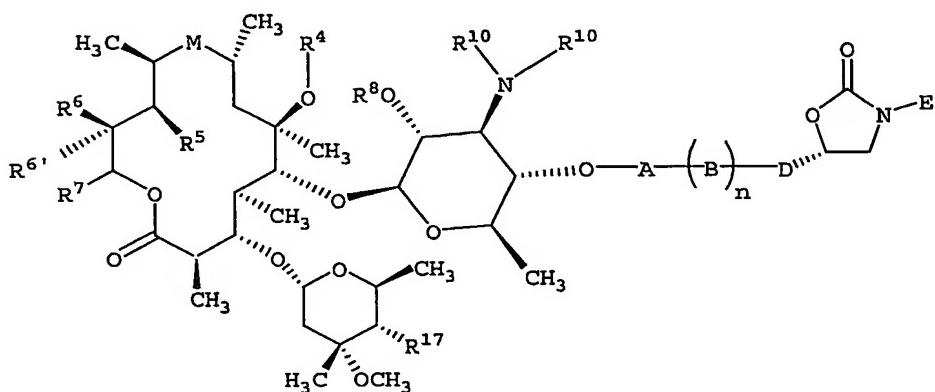


- 5 ,
- 6 or a pharmaceutically acceptable salt, ester, or prodrug thereof,
- 7 wherein A, B, n, D, E, R, R<sup>1</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>6'</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, and R<sup>10</sup> are as defined in claim 1.
- 1 3. A compound having the formula selected from the group consisting of:





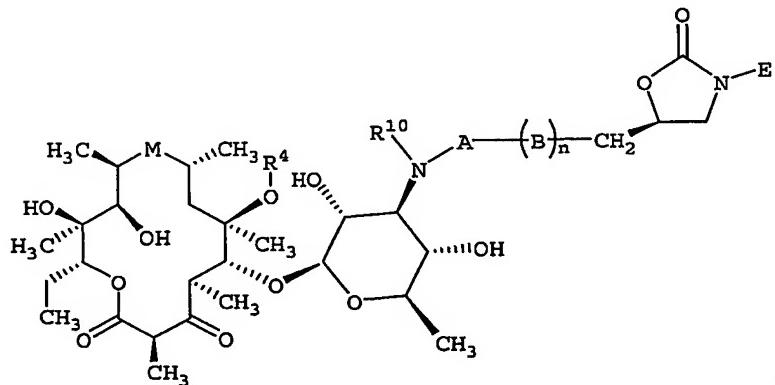
, and



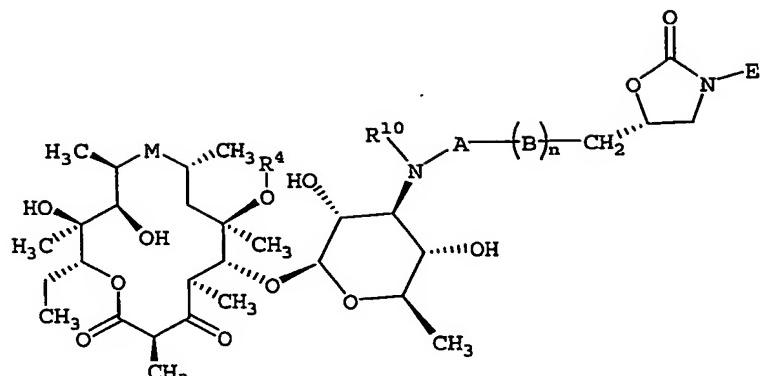
6 or a pharmaceutically acceptable salt, ester, or prodrug thereof,

7 wherein A, B, n, D, E, R, R<sup>1</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>6'</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, and R<sup>10</sup> are as defined in claim 1.

1 4. A compound having the formula selected from the group consisting of:

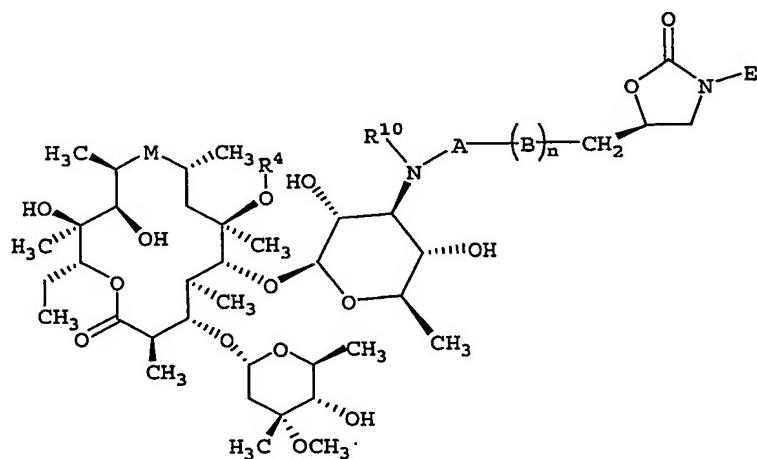


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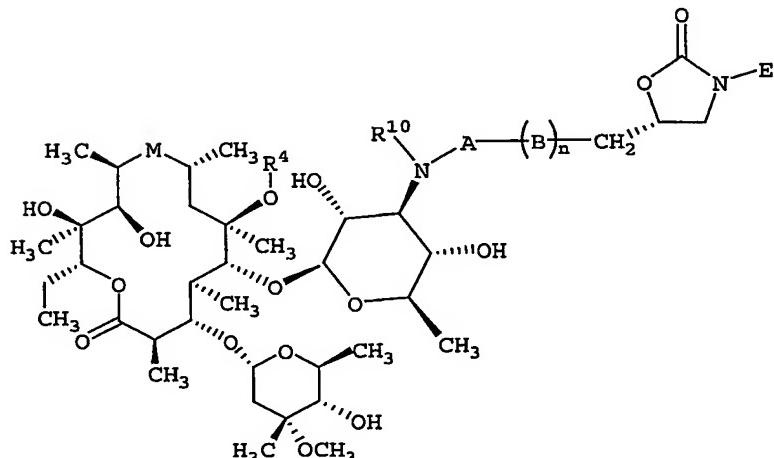
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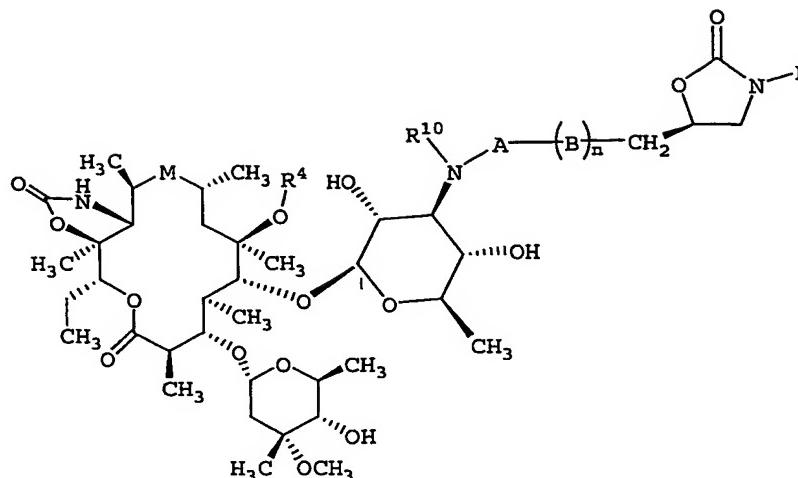


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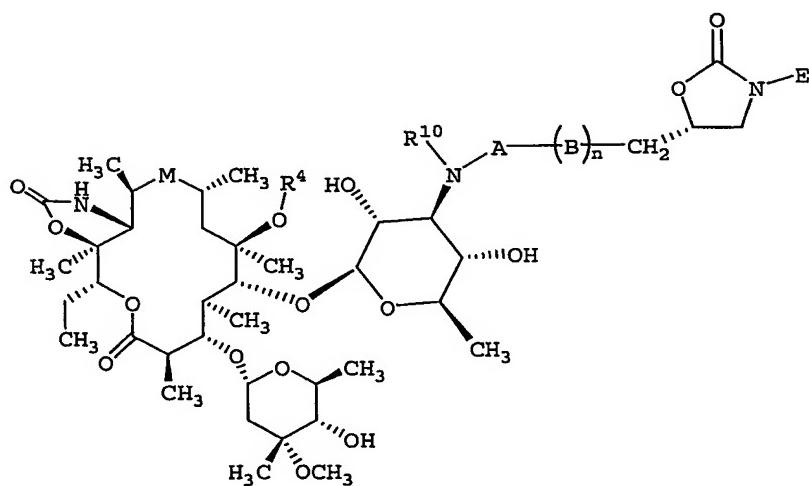


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6

, and

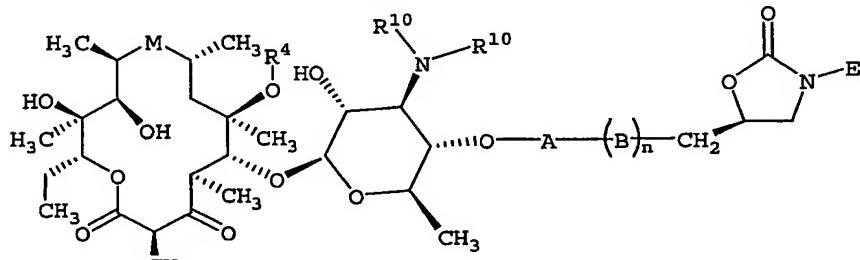


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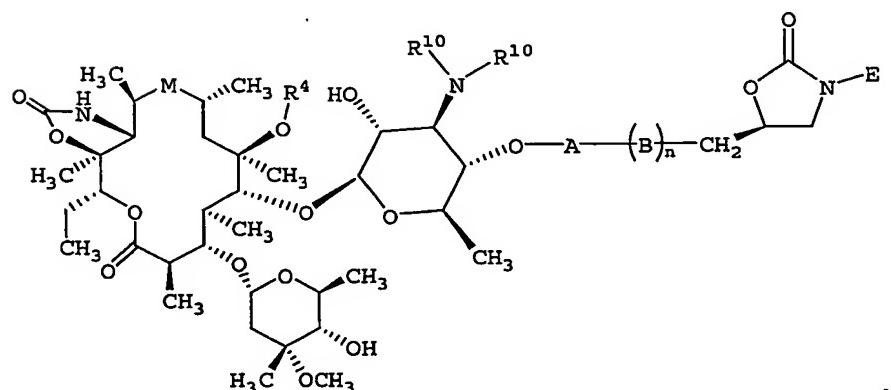
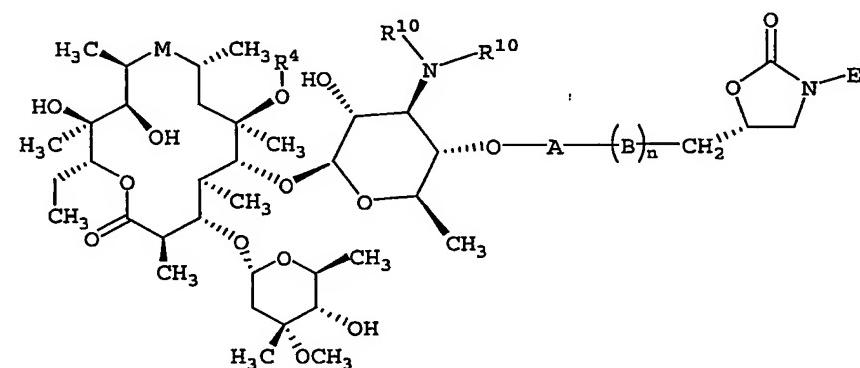
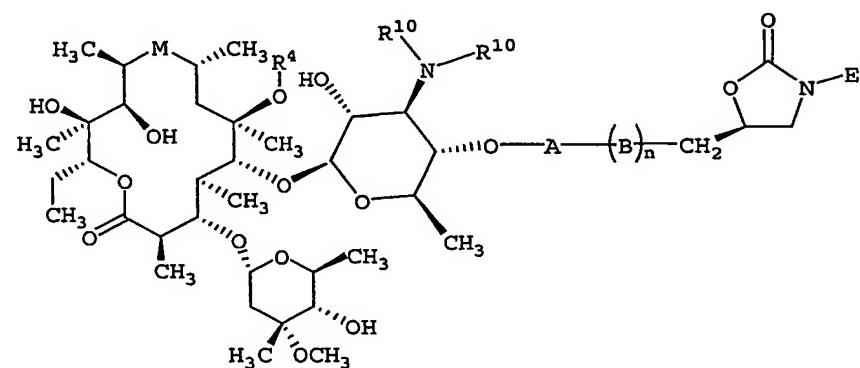
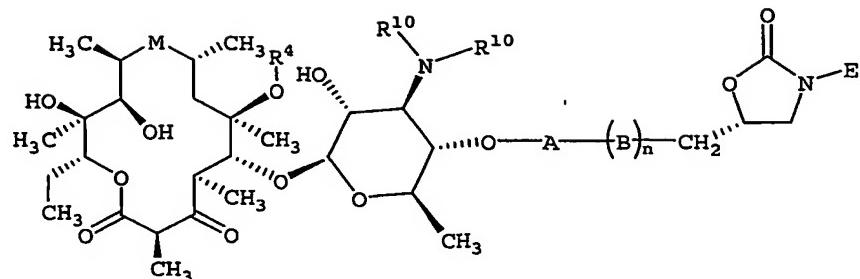
8 or a pharmaceutically acceptable salt, ester, or prodrug thereof,

9 wherein A, B, n, E, R&lt;sup&gt;4&lt;/sup&gt;, and R&lt;sup&gt;10&lt;/sup&gt; are as defined in claim 1.

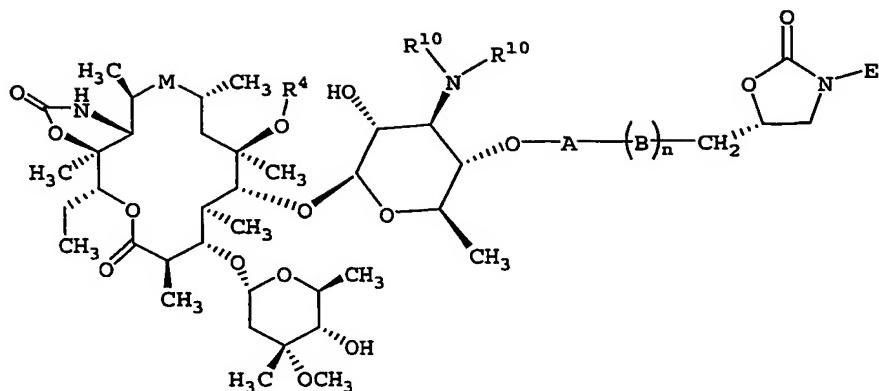
1 5. A compound having the formula selected from the group consisting of:



2

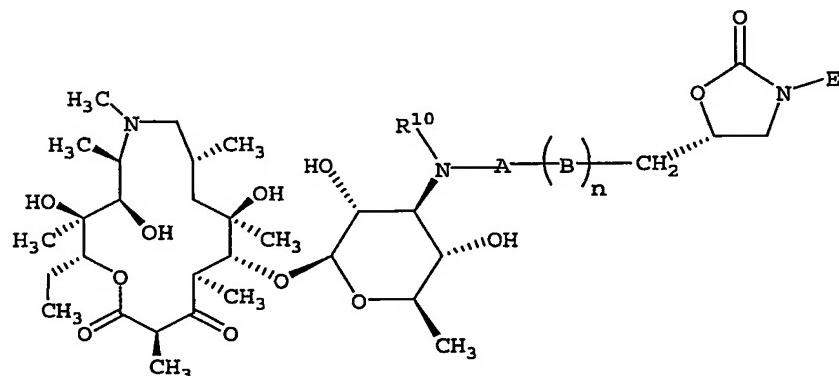
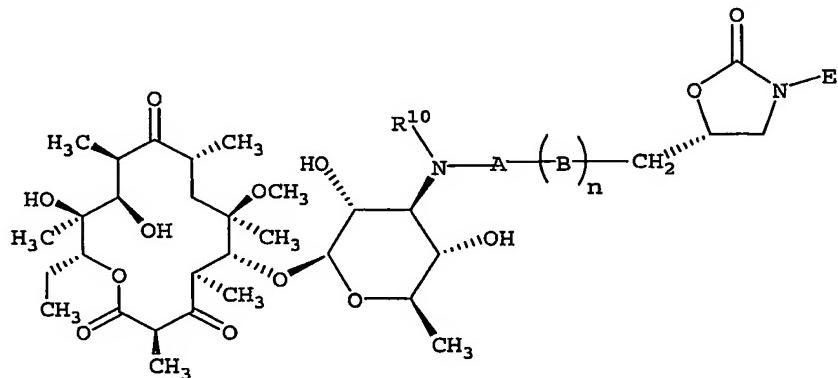


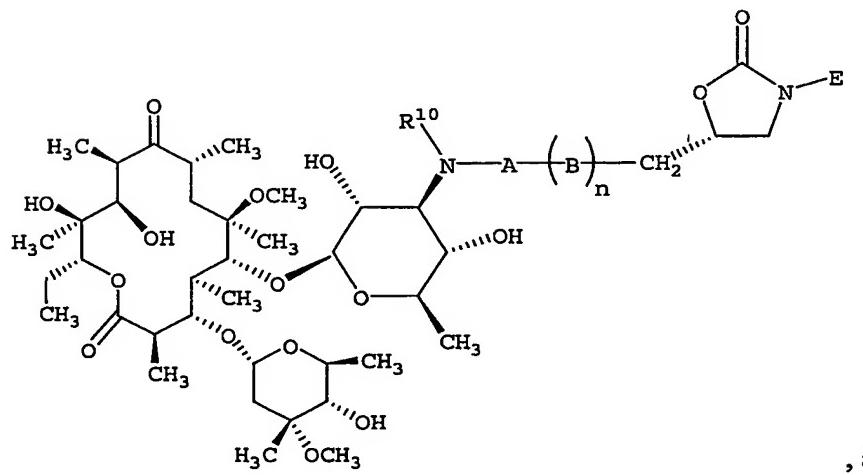
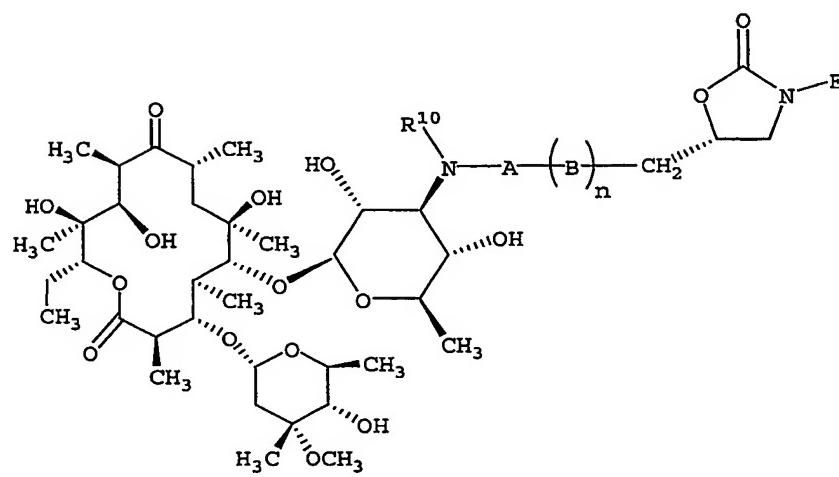
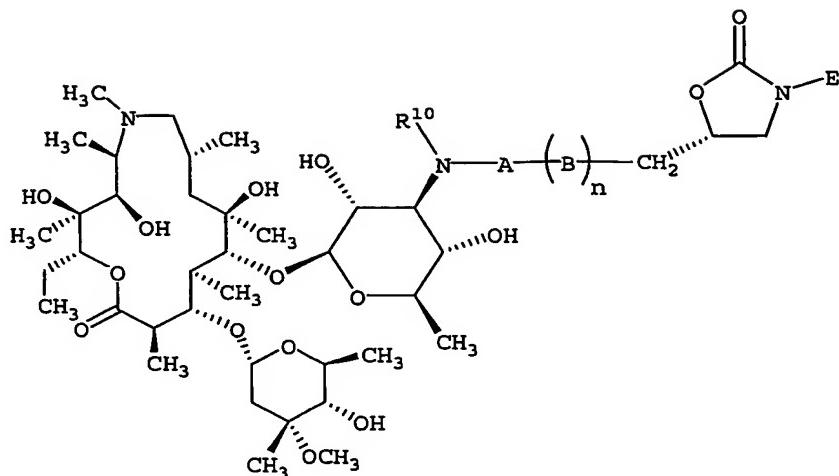
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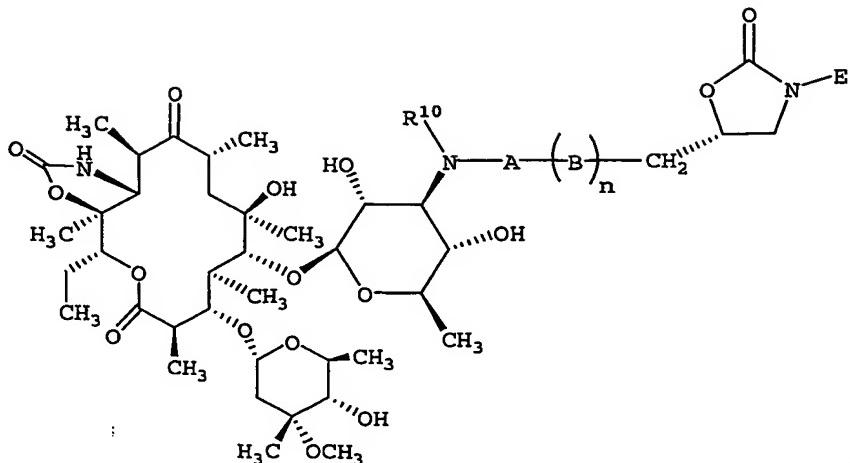
8 or a pharmaceutically acceptable salt, ester, or prodrug thereof,  
9 wherein A, B, n, E, R<sup>4</sup>, and R<sup>10</sup> are as defined in claim 1.

1 6. A compound having the formula selected from the group consisting of:



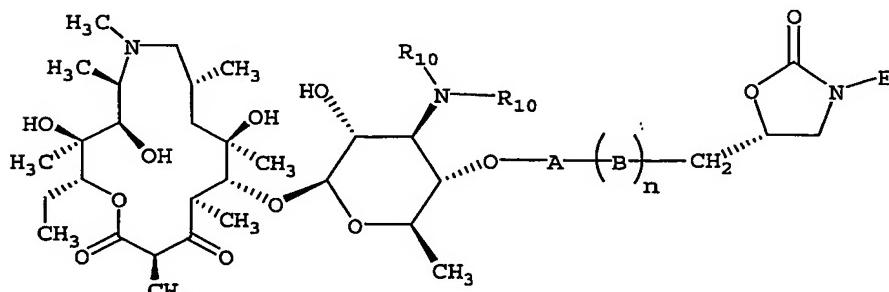
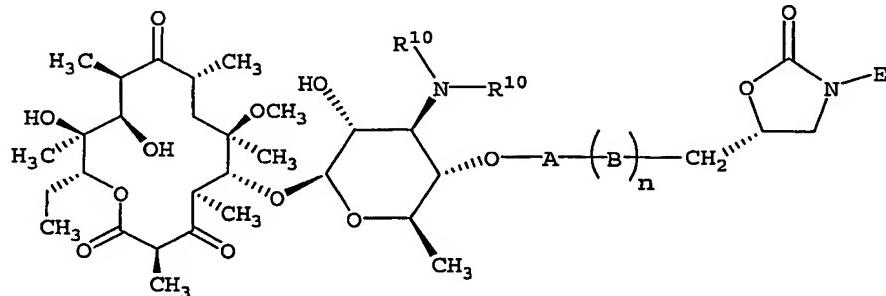


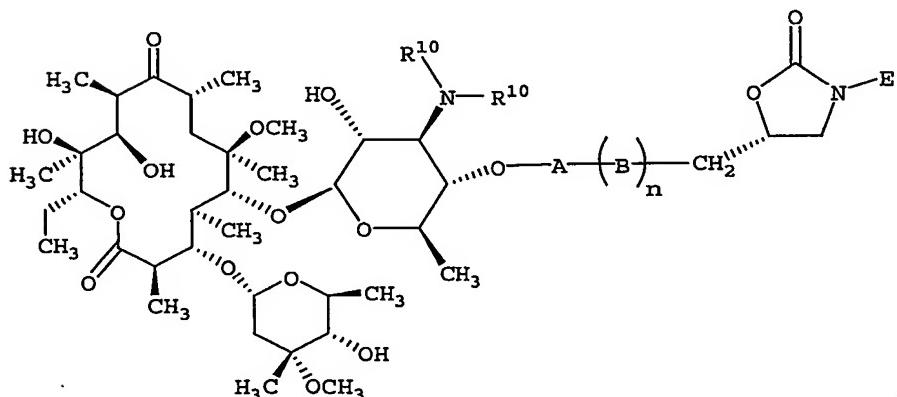
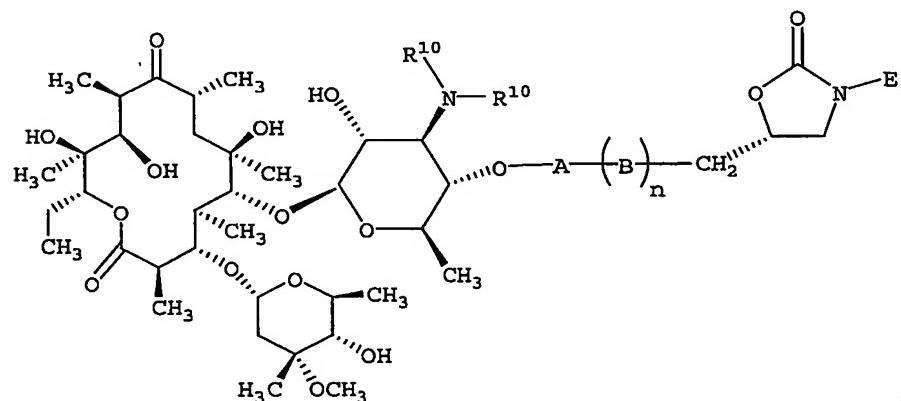
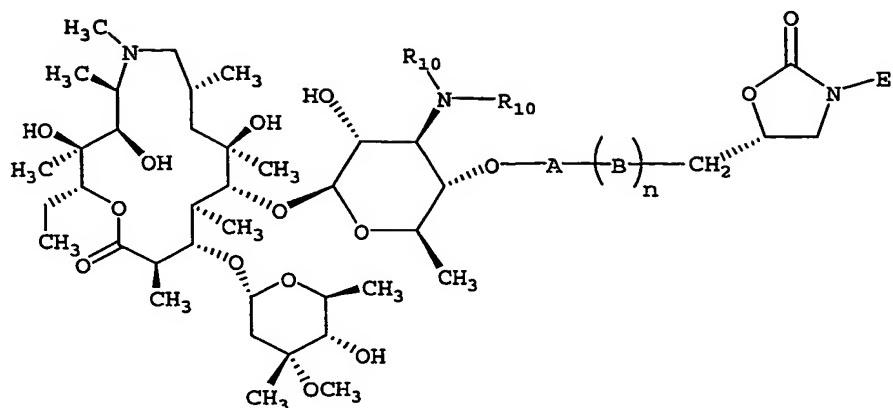
, and



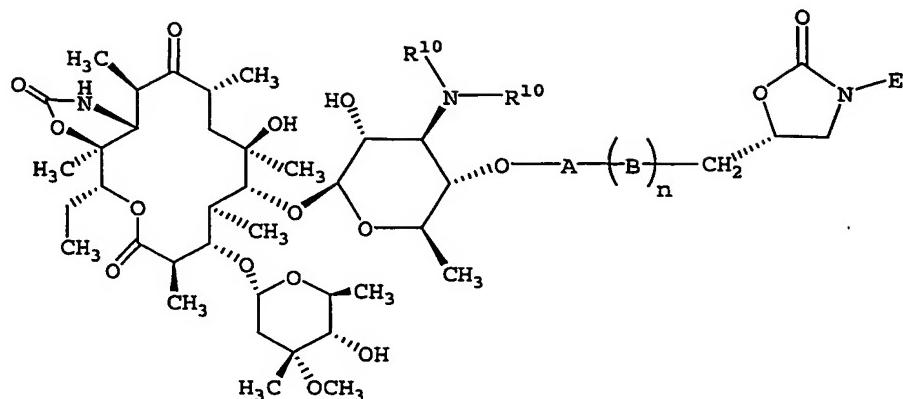
8 or a pharmaceutically acceptable salt, ester, or prodrug thereof,  
9 wherein A, B, n, E, and R<sup>10</sup> are as defined in claim 1.

1 7. A compound having the formula selected from the group consisting of:





, and



7  
8 or a pharmaceutically acceptable salt, ester, or prodrug thereof,  
9 wherein A, B, n, E, and R<sup>10</sup> are as defined in claim 1.

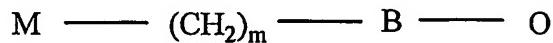
1 8. The compound according to any of claims 1-7, wherein n is 1.

1 9. The compound according to any of claims 1-8, wherein A-(B)<sub>n</sub>-D is:  
2 A-C(O)NH-D.

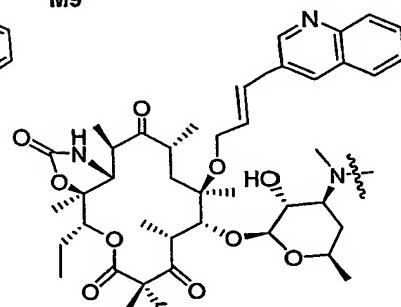
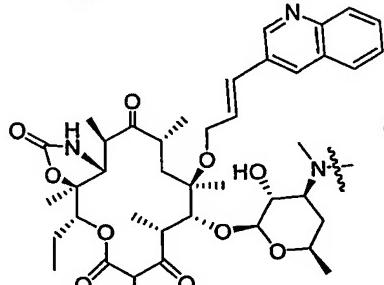
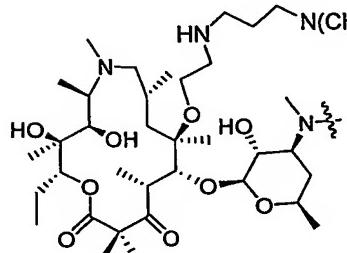
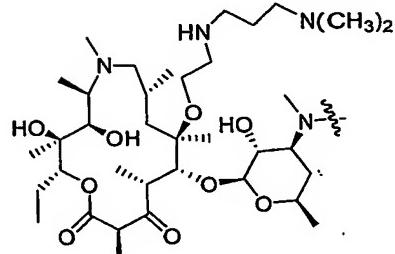
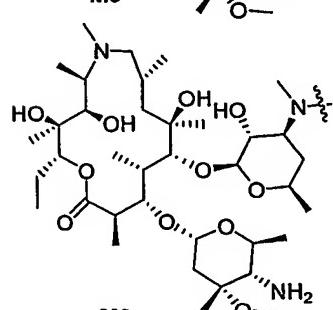
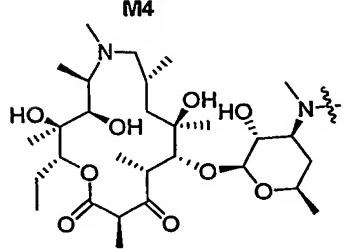
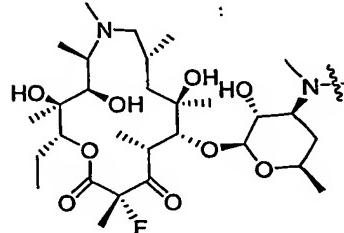
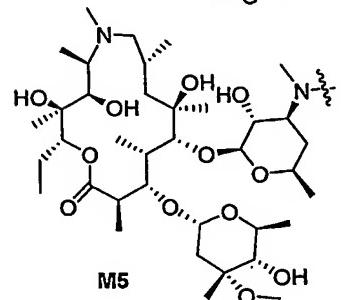
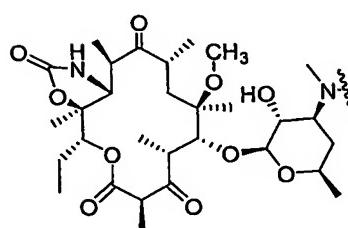
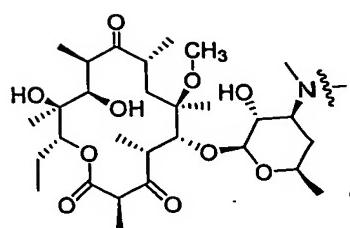
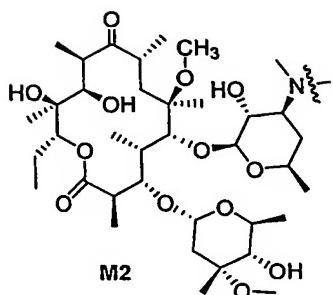
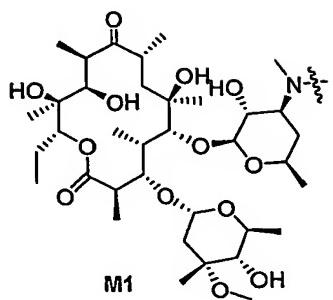
1 10. The compound according to any of claims 1-8, wherein A-(B)<sub>n</sub>-D is:  
2 A-SO<sub>2</sub>NH-D.

1 11. The compound according to any of claims 1-8, wherein A-(B)<sub>n</sub>-D is:  
2 A-C(S)NH-D.

1 12. A compound having the formula



2  
3 or a pharmaceutically acceptable salt, ester, or prodrug thereof,  
4 wherein M is a macrolide selected from the group consisting of



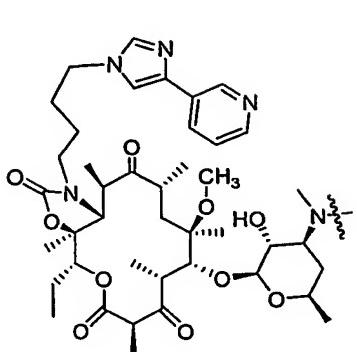
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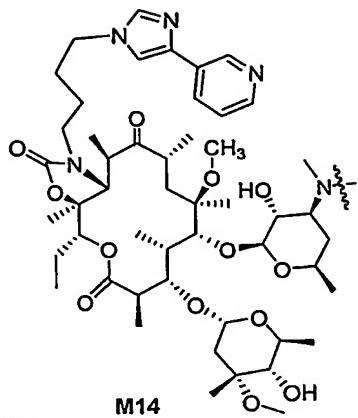
8

- 93 -

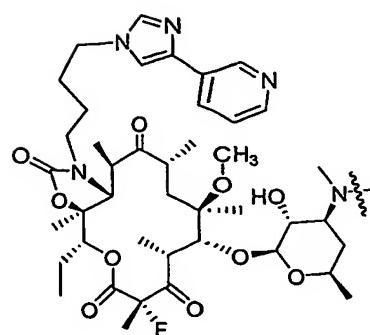


9

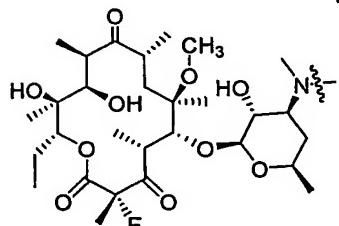
M13



M14

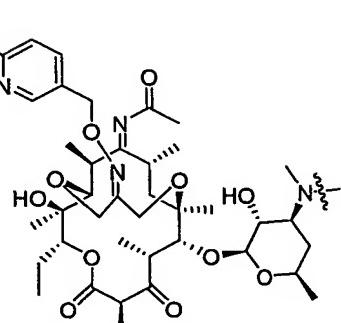


M15

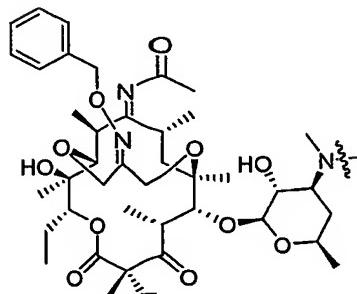


10

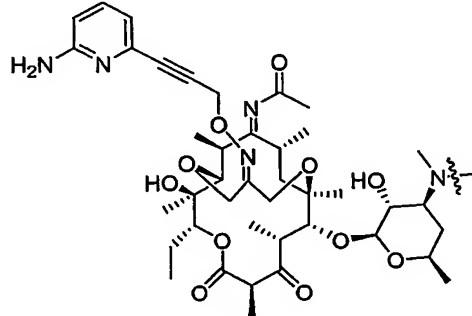
M16



M17

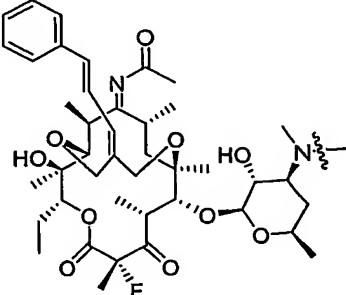


M18

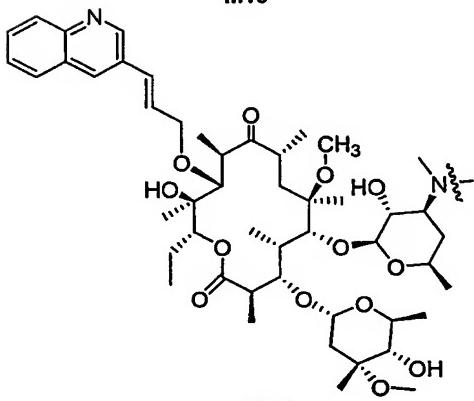


11

M19

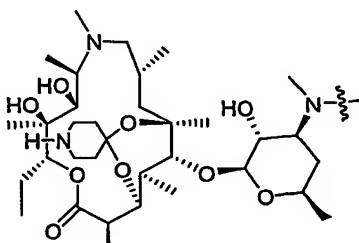


M20



12

M21

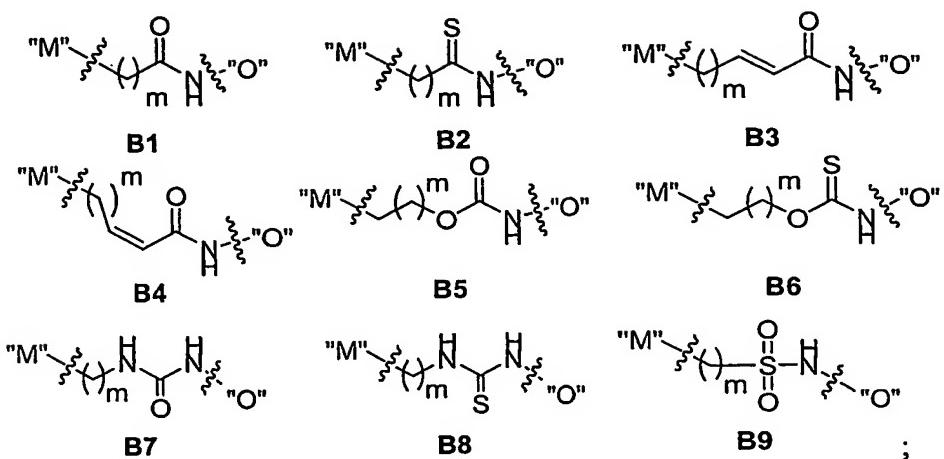


M22

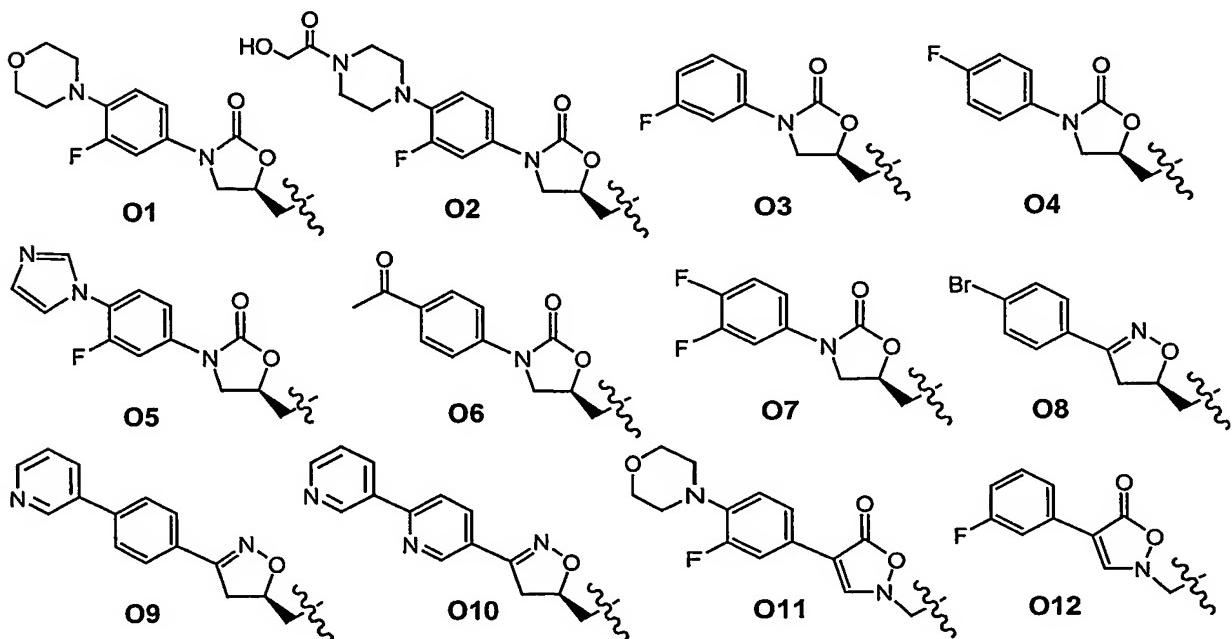
13

B is a linker selected from the group consisting of ;

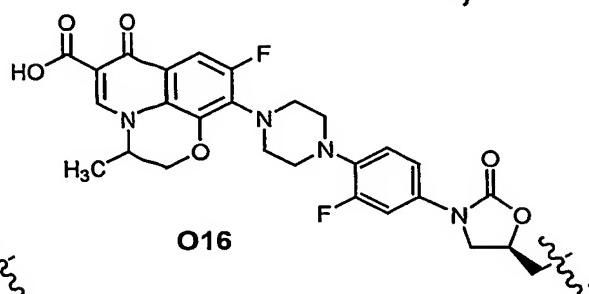
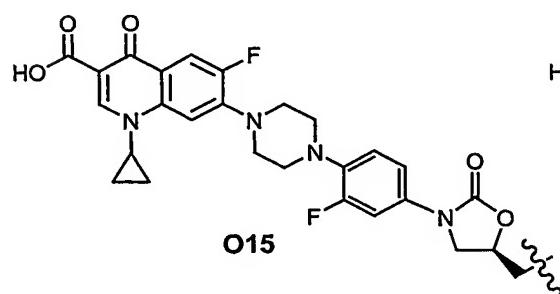
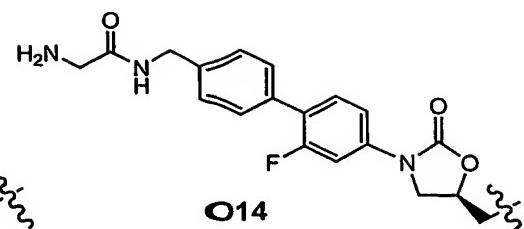
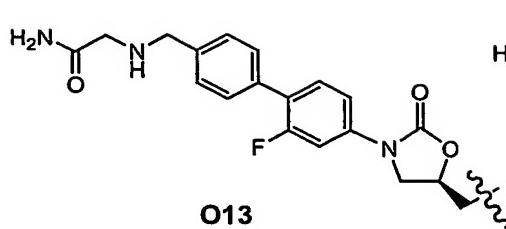
- 94 -



17 O is a heterocyclic side chain selected from the group consisting of

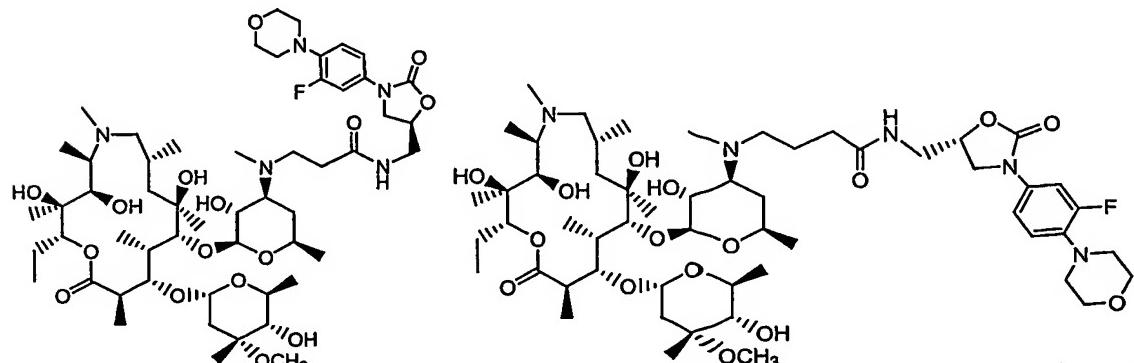
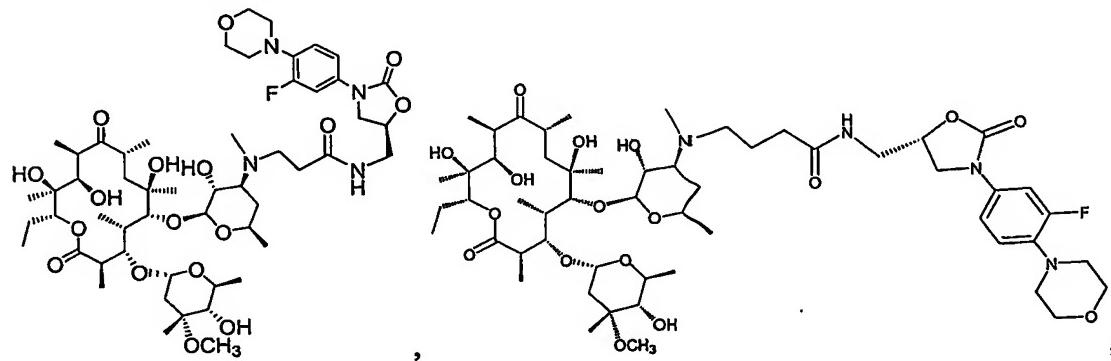


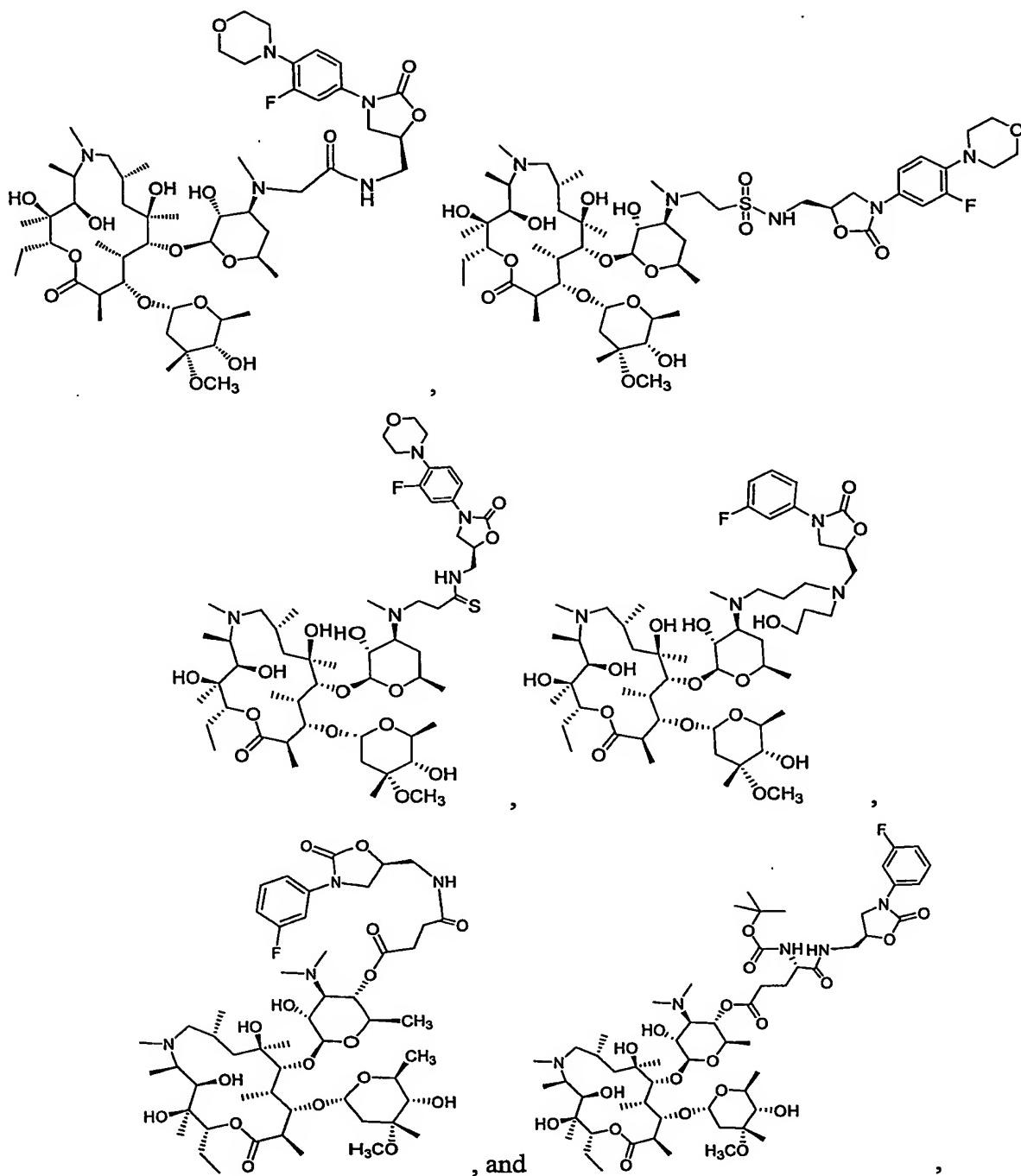
- 95 -



23 and m is an integer from 1-4.

1 13. A compound having the formula selected from the group consisting of:





7 or a pharmaceutically acceptable salt, ester, or prodrug thereof.

1 14. A pharmaceutical composition comprising a compound according to any one of claims  
2 1-13 and a pharmaceutically acceptable carrier.

1 15. A method of treating a microbial infection in a mammal comprising administering to the  
2 mammal an effective amount of a compound according to any one of claims 1-13.

- 1 16. A method of treating a fungal infection in a mammal comprising administering to the  
2 mammal an effective amount of a compound according to any one of claims 1-13.
- 1 17. A method of treating a parasitic disease in a mammal comprising administering to the  
2 mammal an effective amount of a compound according to any one of claims 1-13.
- 1 18. A method of treating a proliferative disease in a mammal comprising administering to the  
2 mammal an effective amount of a compound according to any one of claims 1-13.
- 1 19. A method of treating a viral infection in a mammal comprising administering to the  
2 mammal an effective amount of a compound according to any one of claims 1-13.
- 1 20. A method of treating an inflammatory disease in a mammal comprising administering to  
2 the mammal an effective amount of a compound according to any one of claims 1-13.
- 1 21. A method of treating a gastrointestinal motility disorder in a mammal comprising  
2 administering to the mammal an effective amount of a compound according to any one of claims  
3 1-13.
- 1 22. The method according to any one of claims 15-21 wherein the compound is administered  
2 orally, parentally, or topically.
- 1 23. A method of synthesizing a compound according to any of claims 1-13.
- 1 24. A medical device containing a compound according to any one of claims 1-13.
- 1 25. The medical device according to claim 24, wherein the device is a stent.